

REMARKS

The specification is amended above to insert a reference to related cases and to correct typographical errors.

No amendment of inventorship is necessitated by these amendments.

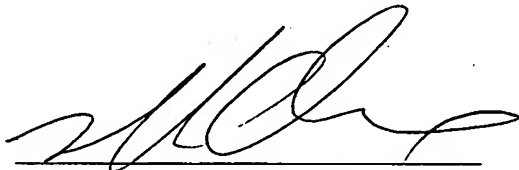
Early allowance of the claims is requested. Should the Examiner believe that a conference with applicants' attorney would advance prosecution of this application, he is respectfully invited to call applicants' attorney.

Respectfully submitted,

Dated: December 20, 2005

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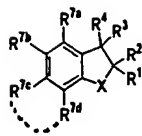
Attorney for Applicants

Customer No. 23115

Takeda Pharmaceuticals North America, Inc.
Intellectual Property Department
475 Half Day Road
Lincolnshire, IL 60069 USA

MARK-UP

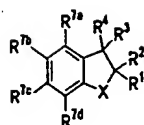
[Table 2]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{5a}	R ^{6b}	R ^{7c}	R ^{7d}	comment
53	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	MeSO ₂ NH	Me	Me	
54	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> BuSO ₂ NH	Me	Me	
55	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	CF ₃ (CH ₂) ₃ SO ₂ NH	Me	Me	
56	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	EtSO ₂ NH	Me	Me	
57	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> PrSO ₂ NH	Me	Me	
58	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	H	
59	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	Br	
60	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	CHO	
61	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	(CH ₂) ₂ CO ₂ Et	
62	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	(CH ₂) ₂ OH	
63	O	H	H	4- <i>i</i> -Pr-Ph	H	Br	<i>t</i> -BuCH ₂ CONH	Me	Me	
64	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	H	Me	
65	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	<i>t</i> -BuCH ₂ CONH	Me	
66	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	<i>t</i> -BuCH ₂ CONH	
67	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
68	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH=CH-CH=CH	
69	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	(CH ₂) ₄		
70	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	(CH ₂) ₃		
71	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	H	-- 5-form --
72	O	H	H	3-MeO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	[+form]
73	O	H	H	3-(1,3-dioxolan-2-yl)-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
74	O	H	H	4- <i>i</i> -Pr-2-MeO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
75	O	H	H	Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
76	O	H	H	4-Me-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
77	O	H	H	biphenyl	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
78	O	H	H	5-Me-2-Py	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
79	O	H	H	4-Et-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
80	O	H	H	4- <i>i</i> -Bu-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
81	O	H	H	4- <i>c</i> Hex-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
82	O	H	H	4-(1,3-dioxolan-2-yl)-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
83	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH=CH ₂	
84	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH(OH)CH ₂ OH	
85	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	(CH ₂) ₂ OH	
86	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	EtCO	
87	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Br	Me	
88	O	H	H	4- <i>i</i> -Pr-Ph	H	OMe	<i>t</i> -BuCH ₂ CONH	Me	Me	
89	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	OMe	Me	
90	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH(OH)(CH ₂) ₂ CH ₃	-- less polar --
91	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH(OH)(CH ₂) ₂ CH ₃	-- more polar --
92	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	<i>n</i> Bu	[-- less polar --]
93	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	HO(CH ₂) ₃ CONH	Me	Me	[-- more polar --]
94	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH(OH)Ph	
95	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH(OH)(4- <i>i</i> -Pr-Ph)	
96	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH ₂ Ph	
97	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CH ₂ (4- <i>i</i> -Pr-Ph)	
98	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	COOH	
99	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CN	
100	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ac	-- 5-form --
101	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ph	
102	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	6-MeO-3-Py	[-- 5-form --]
103	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-MeO-Ph	
104	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	6-F-3-Py	

mats up

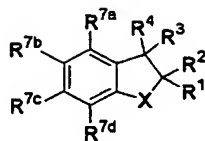
[Table 3]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	comment
105	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-MeO-Ph	
106	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Ph	Me	
107	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-(AcNH)-Ph	
108	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-F-Ph	
109	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-NO ₂ -Ph	
110	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-(CO ₂ Me)-Ph	
111	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-AcPh	
112	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-(CO ₂ Et)-Ph	
113	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-Me-Ph	[(-)-(+)-form]
114	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-Py	
115	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-Py	
116	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	B(OH) ₂	
117	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-Py	
118	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	5-Me-2-Py	
119	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	6-NH ₂ -2-Py	
120	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-(Me ₂ N)-Ph	
121	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	6-(AcNH)-2-Py	
122	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-NH ₂ -Ph	
123	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-(EtCONH)-Ph	
124	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	5-pyrimidinyl	
125	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-thiazoyl	
126	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-thienyl	
127	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-imidazolyl	
128	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	3-furyl	
129	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-pyrrolyl	
130	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-thienyl	
131	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	5-Ac-2-thienyl	
132	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	5-Ac-3-thienyl	
133	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-Me-2-thiazolyl	
134	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	OH - (R)-(+)-form	
135	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	OH	
136	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	EtO	
137	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuOCONH	Me	Me	
138	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Me	
139	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Et	
140	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	OMe	
141	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	(CH ₂) ₂ OH	
142	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Ph	
143	O	H	H	4- <i>i</i> Pr-Ph	H	Me	C ₆ H ₅ NCONH	Me	Me	[less polar]
144	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Et ₂ NCONH	Me	Me	[more polar]
145	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
146	O	H	H	4- <i>i</i> Pr-Ph	H	Me	MeO(CH ₂) ₂ NHCONH	Me	Me	
147	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Me ₂ N(CH ₂) ₂ NHCONH	Me	Me	
148	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	ε+
149	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	OMe	
150	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	(CH ₂) ₂ OH	
151	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>n</i> PrNHCONH	Me	Me	
152	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ph	
153	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ph	
154	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
155	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
156	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ NHCONH	Me	Me	

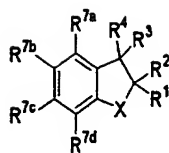
MARKUP

[Table 4]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note
157	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ NHCONH	Me	Ph	
158	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ CH ₂ NHCONH	Me	Me	
159	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ CH ₂ NHCONH	Me	Ph	
160	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH(Me)CH ₂ NHCONH	Me	Ph	
161	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	H	
162	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Br	
163	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CHO	
164	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Et	
165	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	<i>n</i> Pr	
166	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ac	
167	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Et	
168	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ac--less polar--	
169	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ac--more polar--	
170	SO ₂	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Br	
171	SO ₂	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Ac	
172	SO ₂	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Et	
173	O	H	H	[4- <i>i</i> Pr-Ph] 3-CHO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
174	O	H	H	[4- <i>i</i> Pr-Ph] 4-CHO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
175	O	H	H	4-MeCH(OH)-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
176	O	H	H	4-AcPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
177	O	H	H	3-EtOC(=O)CH=CHPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
178	O	H	H	4-EtOC(=O)CH=CHPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
179	O	H	H	4-EtOC(=O)CH=C(Me)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
180	O	H	H	3-EtOC(=O)(CH ₂) ₂ Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
181	O	H	H	4-EtOC(=O)(CH ₂) ₂ Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
182	O	H	H	4-EtOC(=O)CH ₂ CH(Me)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
183	O	H	H	2, 4-Ac-3-MeOPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
184	O	H	H	4-(HC=C(Me))-3-MeOPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
185	O	H	H	4- <i>i</i> Pr-3-MeOPh	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
186	O	H	H	4- <i>i</i> Pr-3-(HO)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
187	O	H	H	4- <i>i</i> Pr-2-(HO)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
188	O	H	H	4- <i>i</i> Pr-3-(EtOC(O)CH ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
189	O	H	H	4- <i>i</i> Pr-2-(MeC(O)CH ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
190	O	H	H	4- <i>i</i> Pr-2-(EtOC(O)CH ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
191	O	H	H	4- <i>i</i> Pr-3-(MeO(CH ₂) ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
192	O	H	H	4- <i>i</i> Pr-2-(MeO(CH ₂) ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
193	O	H	H	4- <i>i</i> Pr-3-(HO(CH ₂) ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
194	O	H	H	3-HO(CH ₂) ₂ Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
195	O	H	H	4-HO(CH ₂) ₂ Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
196	O	H	H	4-HO(CH ₂) ₂ CH(Me)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
197	O	H	H	4- <i>i</i> Pr-2-(HO(CH ₂) ₂ O)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
198	O	H	H	4-HOC(=O)CH ₂ CH(Me)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
199	O	H	H	4-Me ₂ C(OH)Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
200	O	H	H	4- <i>i</i> Pr-Ph	H	Me	CF ₃ (CH ₂) ₂ CONH	Me	Me	
201	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Me ₂ NCH ₂ CONH	Me	Me	
202	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCONH	Me	Me	
203	O	H	H	4- <i>i</i> Pr-Ph	H	Me	NHCHO	Me	Ac	
204	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuNHCONH	Me	Ac	
205	O	H	H	4- <i>i</i> Pr-Ph	H	Me	(<i>c</i> -Hex)NHCONH	Me	Me	
206	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Ac	
207	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ac	
208	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuNHCONH	Me	CH(OH)Me -- more polar --	

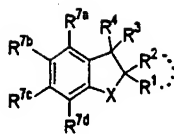
[Table 6]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note
261	O	Me	Me	4-Me-Ph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
262	O	Me	Me	4- <i>i</i> Pr-Ph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
263	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
264	O	Me	Me	3-CHO-Ph	OH	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
265	O	Me	Me	3-(CH ₂ OH)-Ph	OH	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
266	O	Me	Me	3-(CH(Me)OH)-Ph	OH	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
267	O	Me	Me	4-Me-Ph	OH	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
268	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuCH ₂ CONH	Me	[H]-Me	
269	O	Me	Me	2-naph	OH	Me	<i>t</i>-BuCH₂CONH	Me	Me	CH ₂ CH(CH ₂)CH ₂ CONH
270	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuNHCONH	Me	Me	
271	O	Me	Me	2-Me-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
272	O	Me	Me	3-Me-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
273	O	Me	Me	3- <i>i</i> Pr-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
274	O	Me	Me	Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
275	O	Me	Me	2-naph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
276	O	Me	Me	2-MeO-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
277	O	Me	Me	Bz	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
278	O	Me	Me	4- <i>i</i> Pr-Bz	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
279	O	Me	Me	2-thienyl	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
280	O	Me	Me	2-CF ₃ O-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
281	O	Me	Me	<i>n</i> -Bu	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
282	O	Me	Me	2-furyl	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
283	O	Me	Me	(CH ₂) ₂ Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
284	O	Me	Me	4-Br-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
285	O	Me	Me	4-MeO-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
286	O	Me	Me	2,4-MeO-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
287	O	Me	Me	<i>c</i> -Hex	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
288	O	Me	Me	2-Py	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
289	O	Me	Me	4-MeO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
290	O	Me	Me	3-MeO-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
291	O	Me	Me	4- <i>i</i> Pr-Ph	H	Me	Me	Me	<i>t</i> -BuCH ₂ CONH	
292	O	Me	Me	4-CHO-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
293	O	Me	Me	4-Ac-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
294	O	Me	Me	Δ ³ -(CH ₂ OH)-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
295	O	Me	Me	Δ ³ -(CH(Me)OH)-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
296	O	Me	Me	2- <i>i</i> Pr-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
297	O	Me	Me	1-piperidyl	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
298	O	Me	Me	1-pyrrolidinyl	H	Me	[H] <i>t</i> -BuCH ₂ CONH	Me	Me	
299	O	Me	Me	NHPh	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
300	O	Me	Me	NH-(2-MeO-Ph)	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
301	O	Me	Me	NH-(2-CF ₃ O-Ph)	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
302	O	Me	Me	1-pyrrolidinyl	H	Me	<i>t</i> -BuOCONH	Me	Br	
303	O	Me	Me	Me ₂ N	H	Me	<i>t</i> -BuOCONH	Me	Br	
304	O	Me	Me	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuOCONH	Me	Me	
305	O	Me	Me	4-Me-Ph	H	Me	<i>t</i> -BuOCONH	Me	Me	
306	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> Pr-Bz	
307	O	Me	Me	1-pyrrolidinyl	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> Pr-Bz	
308	O	Me	Me	Me ₂ N	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> Pr-Bz	
309	O	Me	Me	4-Me-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
310	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -PrCONH	Me	Me	
311	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -BuCONH	Me	Me	
312	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -PenCONH	Me	Me	

MARK UP

[Table 7]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note
313	O	Me	Me	4-F-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
314	O	Me	Me	Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
315	O	Me	Me	4-Br-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
316	O	Me	Me	4- <i>t</i> -Bu-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
317	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	
318	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	H	Me	
319	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	H	
320	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH ₂ CONH	H	H	
321	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>n</i> -PrCONH	H	H	
322	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> -PrCONH	Me	Me	
323	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> -BuCONH	Me	Me	
324	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
325	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	Me	<i>t</i> -BuCH ₂ CONH	Me	
326	O	Me	Me	Bz	H	Me	Me	<i>t</i> -BuCH ₂ CONH	Me	
327	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	Me	H	<i>t</i> -BuCH ₂ CONH	
328	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	MeO	Me	<i>t</i> -BuCH ₂ CONH	
329	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH ₂ CON(Me)	H	H	
330	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	(4-morpholinyl)(CH ₂) ₂ CONH	Me	Me	
331	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	H	
332	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	Br	
333	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	4- <i>i</i> -Pr-Ph-CH(OH)	
334	O	Me	H	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
335	O	Me	CH ₂ OH	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
336	O	Me	CH ₂ I	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
337	O	Me	CH ₂ (1-pyrrolidinyl)	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
338	O	Me	Me	OH	H	Me	<i>t</i> -BuCH ₂ CONH	Me	H	
339	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	H	
340	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	CHO	
341	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Ph-CH(OH)	
342	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Ph-CO	
343	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Br	
344	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Ph-O	
345	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4-Me-Ph-O	
346	O	Me	Me	OH	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
347	O	Me	Me	OH	Me	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Bz	
348	O	(CH ₂) ₄		4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	
349	O	Me	H	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH ₂ CONH	Me	Me	cis-form
350	O	Me	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	cis-form
351	O	Me	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Me	trans-form
352	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	(2-Py)CH(OH)	
353	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Ph-CH ₂ CH(OH)	
354	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	4- <i>i</i> -Pr-Ph-(CH ₂) ₂	
355	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	PHCH(OH)	
356	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	Bz	
357	O	Me	Me	H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-Me-Bz	
358	O	[Me] H	[Me] H	[H] H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	2-furyl	R-form
359	O	[Me] H	[Me] H	[H] H	H	Me	<i>t</i> -BuCH ₂ CONH	Me	benzoyl	R-form

4-*i*-Pr-Ph 4-*i*-Pr-Ph